## CLAIMS

1. A 5-thio- $\beta$ -D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt thereof or a hydrate thereof:

$$R^4O$$
 $S$ 
 $Y$ 
 $OR^1$ 
 $OR^2$ 
(i)

[wherein

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Y represents -O- or -NH-,

 $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$ , which may be the same or different, each represent a hydrogen atom, a  $C_{2-10}$  acyl group, a  $C_{7-10}$  aralkyl group, a  $C_{2-6}$  alkoxycarbonyl group, a  $C_{1-6}$  alkoxy- $C_{2-10}$  acyl group or a  $C_{1-6}$  alkoxy- $C_{2-6}$  alkoxycarbonyl group,

Ar represents an aryl group substituted with -X-A<sup>1</sup>, in which the aryl group may further be substituted with the same or different 1 to 4 substituents selected from:

- a halogen atom;
- a hydroxyl group;
- a  $C_{1-6}$  alkyl group which may be substituted with 1 to 20 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;
  - a group represented by the formula:

-(CH2)m-Q

{wherein m represents an integer of 0 to 4 and Q

represents a formyl group, an amino group, a nitro group,

a cyano group, a carboxyl group, a sulfonic acid group, a  $C_{1-6}$  alkoxy group which may be substituted with 1 to 4 halogen atoms, a  $C_{1-6}$  alkoxy- $C_{1-6}$  alkoxy group, a  $C_{2-10}$  acyloxy group, a  $C_{2-10}$  acyloxy group, a  $C_{2-10}$  acyloxy group, a  $C_{1-6}$  alkylthio group, a  $C_{1-6}$  alkylsulfinyl group, a  $C_{1-6}$  alkylsulfonyl group, -NHC(=O)H, a  $C_{2-10}$  acylamino group, a  $C_{1-6}$  alkylsulfonylamino group, a  $C_{1-6}$  alkylsulfonylamino group, a carbamoyl group, an N-di( $C_{1-6}$  alkyl)aminocarbonyl group, or an N,N-di( $C_{1-6}$ 

10 alkyl)aminocarbonyl group); or

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a  $C_{3-7}$  cycloalkyl group, a  $C_{3-7}$  cycloalkyloxy group, an aryl group, a  $C_{7-10}$  aralkyl group, an aryloxy group, a  $C_{7-10}$  aralkyloxy group, a  $C_{7-10}$  aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a  $C_{1-6}$  alkyl group and a  $C_{1-6}$  alkoxy group,

X represents -(CH<sub>2</sub>)n-, -CO(CH<sub>2</sub>)n-, -CH(OH)(CH<sub>2</sub>)n-,
20 -O-(CH<sub>2</sub>)n-, -CONH(CH<sub>2</sub>)n-, -NHCO(CH<sub>2</sub>)n- (wherein n
represents an integer of 0 to 3), -COCH=CH-, -S- or -NH-,
and

A<sup>1</sup> represents an aryl group, a heteroaryl group or a 4- to 6-membered heterocycloalkyl group, each of which may 25 be substituted with the same or different 1 to 4 substituents selected from:

- a halogen atom;
- a hydroxyl group;

a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

a group represented by the formula:

-(CH<sub>2</sub>)m'-Q'

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{wherein m' represents an integer of 0 to 4 and Q'
represents a formyl group, an amino group, a nitro group,
a cyano group, a carboxyl group, a sulfonic acid group, a
C1-6 alkoxy group which may be substituted with 1 to 4

10 substituents selected from the group consisting of a
halogen atom and a hydroxyl group, a C1-6 alkoxy-C1-6 alkoxy
group, a C2-10 acyloxy group, a C2-10 acyl group, a C2-6
alkoxycarbonyl group, a C1-6 alkylthio group, a C1-6
alkylsulfinyl group, a C1-6 alkylsulfonyl group, -NHC(=0)H,
15 a C2-10 acylamino group, a C1-6 alkylsulfonylamino group, a
C1-6 alkylamino group, an N,N-di(C1-6 alkyl)amino group, a
carbamoyl group, an N-(C1-6 alkyl)aminocarbonyl group, or
an N,N-di(C1-6 alkyl)aminocarbonyl group); or

a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyloxy group,

20 an aryl group, a C<sub>7-10</sub> aralkyl group, an aryloxy group, a

C<sub>7-10</sub> aralkyloxy group, a C<sub>7-10</sub> aralkylamino group, a

heteroaryl group, or a 4- to 6-membered heterocycloalkyl

group, provided that each of these groups may be

substituted with 1 to 4 substituents selected from the

25 group consisting of a halogen atom, a hydroxyl group, a

C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group].

2. A 5-thio- $\beta$ -D-glucopyranoside compound of the

following formula or a pharmaceutically acceptable salt thereof or a hydrate thereof:

$$R^{8}$$
 $R^{9}$ 
 $R^{5}$ 
 $R^{4}O$ 
 $OR^{1}$ 
 $OR^{2}$ 
 $(I)$ 

5 [wherein

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Y represents -O- or -NH-,

 $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$ , which may be the same or different, each represent a hydrogen atom, a  $C_{2-10}$  acyl group, a  $C_{7-10}$  aralkyl group, a  $C_{2-6}$  alkoxycarbonyl group, a  $C_{1-6}$  alkoxy- $C_{2-10}$  acyl group or a  $C_{1-6}$  alkoxy- $C_{2-6}$  alkoxycarbonyl group, and at least one of  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  represents -X- $R^4$  (wherein X and  $R^4$  are as defined in claim 1) and the other, which may be the same or different, each represent:

a hydrogen atom;

15 a halogen atom;

a hydroxyl group;

a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

20 a group represented by the formula:

 $-(CH_2)m-Q$ 

(wherein m and Q are as defined in claim 1); or

a  $C_{3-7}$  cycloalkyl group, a  $C_{3-7}$  cycloalkyloxy group,

an aryl group, a  $C_{7-10}$  aralkyl group, an aryloxy group, a  $C_{7-10}$  aralkyloxy group, a  $C_{7-10}$  aralkyloxy group, a  $C_{7-10}$  aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a  $C_{1-6}$  alkyl group and a  $C_{1-6}$  alkoxy group].

- 3. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 2, wherein Y is -O-, or a pharmaceutically acceptable salt thereof or a hydrate thereof.
- 4. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 2 or 3, wherein  $R^5$  is  $-X-A^1$ , or a pharmaceutically acceptable salt thereof or a hydrate thereof.
  - 5. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 4, wherein X is  $-(CH_2)n$  (wherein n represents an integer of 0 to 3), or a pharmaceutically acceptable salt thereof or a hydrate thereof.

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- 6. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 4, wherein X is  $-CO(CH_2)n$  (wherein n represents an integer of 0 to 3), or a pharmaceutically acceptable salt thereof or a hydrate thereof.
  - 7. A 5-thio- $\beta$ -D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt

thereof or a hydrate thereof:

$$R^{8}$$
 $R^{9}$ 
 $R^{13}$ 
 $R^{12}$ 
 $R^{12}$ 
 $R^{14}$ 
 $R^{13}$ 
 $R^{12}$ 
 $R^{12}$ 
 $R^{10}$ 
 $R^{11}$ 
 $R^{10}$ 
 $R^{11}$ 
 $R^{10}$ 
 $R^{11}$ 

[wherein

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X represents  $-(CH_2)n-$ ,  $-CO(CH_2)n-$ ,  $-CH(OH)(CH_2)n-$ ,  $-O-(CH_2)n-$ ,  $-CONH(CH_2)n-$ ,  $-NHCO(CH_2)n-$  (wherein n represents an integer of 0 to 3), -COCH=CH-, -S- or -NH-,

 $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$ , which may be the same or different, each represent a hydrogen atom, a  $C_{2-10}$  acyl group, a  $C_{7-10}$  aralkyl group, a  $C_{2-6}$  alkoxycarbonyl group, a  $C_{1-6}$  alkoxy- $C_{2-10}$  acyl group or a  $C_{1-6}$  alkoxy- $C_{2-6}$  alkoxy-carbonyl group,

 $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$ , which may be the same or different, each represent:

a hydrogen atom;

15 a halogen atom;

a hydroxyl group;

a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

20 a group represented by the formula:

-(CH2)m-Q

{wherein m represents an integer of 0 to 4 and Q

represents a formyl group, an amino group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, a  $C_{1-6}$  alkoxy group which may be substituted with 1 to 4 halogen atoms, a  $C_{1-6}$  alkoxy- $C_{1-6}$  alkoxy group, a  $C_{2-10}$  5 acyloxy group, a  $C_{2-10}$  acyl group, a  $C_{2-6}$  alkoxycarbonyl group, a  $C_{1-6}$  alkylthio group, a  $C_{1-6}$  alkylsulfinyl group, a  $C_{1-6}$  alkylsulfonyl group, -NHC(=O)H, a  $C_{2-10}$  acylamino group, a  $C_{1-6}$  alkylsulfonylamino group, a  $C_{1-6}$  alkylsulfonylamino group, a carbamoyl group, an N- $(C_{1-6}$  alkyl)aminocarbonyl group, or an N- $(C_{1-6}$  alkyl)aminocarbonyl group); or

a  $C_{3-7}$  cycloalkyl group, a  $C_{3-7}$  cycloalkyloxy group, an aryl group, a  $C_{7-10}$  aralkyl group, an aryloxy group, a  $C_{7-10}$  aralkyloxy group, a  $C_{7-10}$  aralkyloxy group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a  $C_{1-6}$  alkyl group and a  $C_{1-6}$  alkoxy group, and

 $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$ , which may be the same or different, each represent:

- a hydrogen atom;
- a halogen atom;
- a hydroxyl group;
- a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;
  - a group represented by the formula:

-(CH,)m'-Q'

{wherein m' represents an integer of 0 to 4 and Q'
represents a formyl group, an amino group, a nitro group,
a cyano group, a carboxyl group, a sulfonic acid group, a

5 C<sub>1-6</sub> alkoxy group which may be substituted with 1 to 4
halogen atoms, a C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy group, a C<sub>2-10</sub>
acyloxy group, a C<sub>2-10</sub> acyl group, a C<sub>2-6</sub> alkoxycarbonyl
group, a C<sub>1-6</sub> alkylthio group, a C<sub>1-6</sub> alkylsulfinyl group, a
C<sub>1-6</sub> alkylsulfonyl group, -NHC(=O)H, a C<sub>2-10</sub> acylamino group,
10 a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylamino group, an
N,N-di(C<sub>1-6</sub> alkyl)amino group, a carbamoyl group, an N-(C<sub>1-6</sub>
alkyl)aminocarbonyl group, or an N,N-di(C<sub>1-6</sub>
alkyl)aminocarbonyl group); or

a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyloxy group,

15 an aryl group, a C<sub>7-10</sub> aralkyl group, an aryloxy group, a

C<sub>7-10</sub> aralkyloxy group, a C<sub>7-10</sub> aralkylamino group, a

heteroaryl group, or a 4- to 6-membered heterocycloalkyl

group, provided that each of these groups may be

substituted with 1 to 4 substituents selected from the

20 group consisting of a halogen atom, a hydroxyl group, a

C<sub>1-6</sub> alkyl group and a C<sub>1-6</sub> alkoxy group].

8. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 7, wherein X is -CH<sub>2</sub>-, or a pharmaceutically acceptable salt thereof or a hydrate thereof.

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9. The 5-thio- $\beta$ -D-glucopyranoside compound according to claim 7, wherein X is -O- or -NH-, or a pharmaceutically

acceptable salt thereof or a hydrate thereof.

10. A 5-thio- $\beta$ -D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt thereof:

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$$R^{3A}O$$
 $R^{2A}O$ 
 $R^{3A}O$ 
 $R^{3$ 

(wherein  $R^{6A}$  to  $R^{9A}$ , which may be the same or different, each represent a hydrogen atom, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a  $C_{1-6}$  alkoxy group, a  $C_{1-6}$  alkoxyl group, a carboxyl group, a  $C_{2-6}$  alkoxycarbonyl group, a hydroxyl group or a hydroxy- $C_{1-4}$  alkyl group,  $R^{c}$  represents a hydrogen atom, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a hydroxy- $C_{1-4}$  alkyl group, a halogensubstituted  $C_{1-6}$  alkyl group or a  $C_{1-6}$  alkylthio group,  $R^{4A}$  represents a hydrogen atom, a  $C_{2-6}$  alkoxycarbonyl group or a  $C_{2-6}$  alkanoyl group, and  $R^{1A}$  to  $R^{3A}$ , which may be the same or different, each represent a hydrogen atom, a  $C_{2-8}$  alkanoyl group or a benzoyl group).

20 11. A 5-thio- $\beta$ -D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt thereof:

(wherein  $R^D$  represents a hydrogen atom, a halogen atom, a  $C_{1-6}$  alkyl group or a hydroxy- $C_{1-4}$  alkyl group, and  $R^E$  represents a hydrogen atom, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group or a hydroxy- $C_{1-4}$  alkyl group).

12. A 5-thio-β-D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt
 10 thereof or a hydrate thereof:

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(wherein R¹, R², R³ and R⁴, which may be the same or
different, each represent a hydrogen atom, a C₂-10 acyl group, a C₁-10 aralkyl group, a C₂-10 alkoxycarbonyl group, a C₁-10 alkoxy-C₂-10 acyl group or a C₁-10 alkoxy-C₂-10 acyl group or a C₁-10 alkoxy-C₂-10 alkoxycarbonyl group, R¹-10 represents a hydrogen atom, a halogen atom, a hydroxyl group, a C₂-10 acyloxy group, or a C₁-10 alkyl or C₁-10 alkoxy group which may be substituted with 1 to 4 halogen atoms, and R¹-10 represents a hydrogen

atom, a halogen atom or a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 halogen atoms).

- 13. A pharmaceutical preparation, which comprises the 5-thio- $\beta$ -D-glucopyranoside compound according to any one of claims 1 to 12 or a pharmaceutically acceptable salt thereof or a hydrate thereof as an active ingredient.
- 14. The pharmaceutical preparation according to claim 13,10 which is an inhibitor of sodium-dependent glucose transporter 2 activity.
- 15. The pharmaceutical preparation according to claim 14, which is a prophylactic or therapeutic agent for diabetes, diabetes-related diseases or diabetic complications.
- 16. A pharmaceutical preparation, which comprises the 5-thio-β-D-glucopyranoside compound according to any one of claims 1 to 12 or a pharmaceutically acceptable salt thereof or a hydrate thereof, in combination with at least one drug selected from the group consisting of an insulin sensitizer selected from the group consisting of a PPARγ agonist; a PPARα/γ agonist; a PPARα/γ agonist; a PPARδ agonist; and a PPARα/γ/δ agonist, a glycosidase inhibitor, a biguanide, an insulin secretagogue, an insulin formulation and a dipeptidyl peptidase IV inhibitor.
  - 17. A pharmaceutical preparation, which comprises the

5-thio-β-D-glucopyranoside compound according to any one of claims 1 to 12 or a pharmaceutically acceptable salt thereof or a hydrate thereof, in combination with at least one drug selected from the group consisting of a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a squalene synthase inhibitor, an acyl-coenzyme A:cholesterol acyltransferase inhibitor, a low-density lipoprotein receptor promoter, a microsomal triglyceride

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18. A 5-thio- $\beta$ -D-glucopyranoside compound of the following formula or a pharmaceutically acceptable salt thereof or a hydrate thereof:

transfer protein inhibitor and an anorectic.

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(wherein

 $R^{21},\ R^{22},\ R^{23}$  and  $R^{24},$  which may be the same or different, each represent a hydrogen atom or a  $C_{2\text{--}10}$  acyl group,

20  $R^{25}$  represents an amino group, a  $C_{2-6}$  alkanoyl group, a carboxyl group, a formyl group, a halogen atom, a  $C_{2-6}$  alkoxycarbonyl group or a hydroxyl group, and

 $\ensuremath{R^{26}}$  and  $\ensuremath{R^{27}}\xspace$  , which may be the same or different, each

represent a hydrogen atom, a halogen atom, a hydroxyl group, a  $C_{1-6}$  alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group, or a  $C_{1-6}$  alkoxy group which may be substituted with 1 to 4 halogen atoms).

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